EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	999	(546/118,514/303).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/09/21 06:40
L2	176	I1 and aminopyridine	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/09/21 06:40
L3	1	I2 and inflammator	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR .	OFF	2007/09/21 06:41
L4	40	I2 and n-oxide	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/09/21 06:41

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS
         JUL 02
                 LMEDLINE coverage updated
NEWS
      3
         JUL 02
                 SCISEARCH enhanced with complete author names
NEWS
         JUL 02
                 CHEMCATS accession numbers revised
         JUL 02
NEWS
      5
                 CA/CAplus enhanced with utility model patents from China
NEWS
     6
         JUL 16
                 CAplus enhanced with French and German abstracts
NEWS
      7
         JUL 18
                 CA/CAplus patent coverage enhanced
NEWS
     8
         JUL 26
                 USPATFULL/USPAT2 enhanced with IPC reclassification
     9
NEWS
         JUL 30
                 USGENE now available on STN
NEWS 10
         AUG 06
                 CAS REGISTRY enhanced with new experimental property tags
NEWS 11
         AUG 06
                 BEILSTEIN updated with new compounds
NEWS 12
         AUG 06
                 FSTA enhanced with new thesaurus edition
NEWS 13
         AUG 13'
                 CA/CAplus enhanced with additional kind codes for granted
                 patents
         AUG 20
NEWS 14
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
         AUG 27
NEWS 15
                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
NEWS 16
        ·AUG 27
                 USPATOLD now available on STN
NEWS 17
         AUG 28
                 CAS REGISTRY enhanced with additional experimental
                 spectral property data
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         SEP 07
                 STN AnaVist, Version 2.0, now available with Derwent
                 World Patents Index
NEWS 19
         SEP 13
                 FORIS renamed to SOFIS
NEWS 20
         SEP 13
                 INPADOCDB enhanced with monthly SDI frequency
NEWS 21
         SEP 17
                 CA/CAplus enhanced with printed CA page images from
                 1967-1998
NEWS 22
         SEP 17
                 CAplus coverage extended to include traditional medicine
                 patents
NEWS EXPRESS
              19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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=> file reg COST IN U.S. DOLLARS

SINCE FILE ENTRY SE

FULL ESTIMATED COST

NTRY SESSION 0.42 0.42

TOTAL

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STRUCTURE FILE UPDATES: 19 SEP 2007 HIGHEST RN 947584-60-3 DICTIONARY FILE UPDATES: 19 SEP 2007 HIGHEST RN 947584-60-3

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

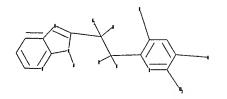
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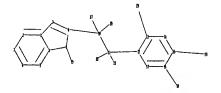
http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10573204.str



G1:C,H



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chain nodes :
10 11 18 19 20 21 22 23 24
ring nodes :
1 2 3 4 5 6 7 8
                     9 12 13 14 15 16 17
chain bonds :
8-10 9-19 10-11 10-20 10-27 11-12 11-21 11-22 13-23 15-24 16-18
ring bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-9 5-6 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
3-7 4-9 7-8 8-9 10-27 16-18
exact bonds :
8-10 9-19 10-11 10-20 11-12 11-21 11-22 13-23 15-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 12 :
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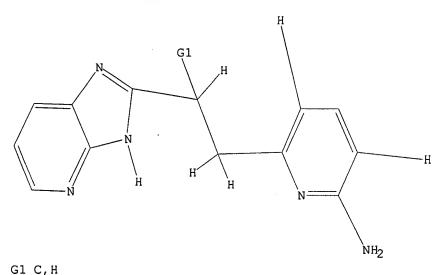
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20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 27:CLASS

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 06:37:10 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED

8 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 8 TO 329

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 06:37:14 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 167 TO ITERATE

100.0% PROCESSED 167 ITERATIONS 27 ANSWERS

SEARCH TIME: 00.00.01

L3 27 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST ENTRY SESSION 172.10 172.52

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=> s 13 full

2 L3 L4

=> d ibib abs hitstr tot

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

2006:43156 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

144:163527

TITLE:

The novel imidazopyridine 2-[2-(4-Methoxy-pyridin-2yl)-ethyl]-3H-imidazo[4,5-b]pyridine (BYK191023) is a

highly selective inhibitor of the inducible

nitric-oxide synthase

AUTHOR(S):

Strub, Andreas; Ulrich, Wolf-Ruediger; Hesslinger, Christian; Eltze, Manfrid; Fuchss, Thomas; Strassner, Jochen; Strand, Susanne; Lehner, Martin D.; Boer,

Rainer

CORPORATE SOURCE:

Departments of Biochemistry, Chemistry and

Pharmacology, ALTANA Pharma AG, Konstanz, Germany

SOURCE:

Molecular Pharmacology (2006), 69(1), 328-337

CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER:

American Society for Pharmacology and Experimental

Therapeutics

DOCUMENT TYPE:

Journal LANGUAGE: English

We have identified imidazopyridine derivs. as a novel class of NO synthase inhibitors with high selectivity for the inducible isoform. 2-[2-(4-Methoxy-pyridin-2-yl)-ethyl]-3H-imidazo[4,5-b]pyridine (BYK191023)showed half-maximal inhibition of crudely purified human inducible (iNOS), neuronal (nNOS), and endothelial (eNOS) NO synthases at 86 nM, 17 μM , and 162 μM , resp. Inhibition of inducible NO synthase was competitive with L-arginine, pointing to an interaction of BYK191023 with the catalytic center of the enzyme. In radioligand and surface plasmon resonance expts., BYK191023 exhibited an affinity for iNOS, nNOS, and eNOS of 450 nM, 30 μ M, and >500 μ M, resp. Inhibition of cellular nitrate/nitrite synthesis in RAW, rat mesangium, and human embryonic kidney 293 cells after iNOS induction showed 40- to 100-fold higher IC50 values than at the isolated enzyme, in agreement with the much higher L-arginine concns. in cell culture media and inside intact cells. BYK191023 did not show any toxicity in various rodent and human cell lines up to high micromolar concns. The inhibitory potency of BYK191023 was tested in isolated organ models of iNOS (lipopolysaccharide-treated and phenylephrine-precontracted rat aorta; IC50 = $7 \mu M$), eNOS (arecaidine propargyl ester-induced relaxation of phenylephrine-precontracted rat aorta; IC50 > 100 μ M), and nNOS (field-stimulated relaxation of phenylephrine-precontracted rabbit corpus cavernosum; IC50 > 100 µM). These data confirm the high selectivity of BYK191023 for iNOS over eNOS and nNOS found at isolated enzymes. In summary, we have identified a new

highly selective iNOS inhibitor structurally unrelated to known compds. and L-arginine. BYK191023 is a valuable tool for the investigation of iNOS-mediated effects in vitro and in vivo.

857379-46-5, BYK 237007 ΙT

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure activity relationship studied of imidazopyridine compds. as selective inhibitors of nitric-oxide synthase isoforms)

RN 857379-46-5 CAPLUS

2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methyl- (9CI) CN(CA INDEX NAME)

THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS 40 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 2 OF 2

2005:588961 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:115536

A preparation of (aminopyridinylethyl)imidazolopyridin TITLE:

e derivatives, useful as inductible NO-synthase

inhibitors

Boer, Rainer; Marx, Degenhard; Ulrich, Wolf-Ruediger; INVENTOR(S):

Eltze, Manfrid; Nave, Ruediger; Strub, Andreas;

Graedler, Ulrich; Fuchss, Thomas

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany PCT Int. Appl., 63 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA:	PATENT NO.				KIND DATE			APPLICATION NO.				DATE						
WO	2005061496				A1	A1 20050707			WO 2004-EP52373				20040930					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	•
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜŻ,	NA,	NΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	ŔO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NΑ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	ΑM,	
		•	•	•	•	•	-		•		BE,		•		•	•		
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK;	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	\mathtt{ML} ,	MR,	ΝE,	
			•	ΤG														
									AU 2004-303515									
		540230							CA 2004-2540230									
ĒΡ	1670					20060621			EP 2004-820599									
	R:	•	•		•	•			•		IT,	•	•	•	•			
											TR,							HR
CN	1856	493			A		20061101 CN 2004-80027807					20040930						
BR	2004015034			А														
	2007507464																	
						20060608 MX 2006-PA3345 200603												
US	S 2007043072				A1		20070222 US 2006-573204 200603						324					

NO 2006-1789 20060424 NO 2006001789 · Α 20060424 IN 2006-MN476 20060424 IN 2006MN00476 Α 20070427 EP 2003-22040 20031001 PRIORITY APPLN. INFO.: Α WO 2004-EP52373 20040930 W

OTHER SOURCE(S):

MARPAT 143:115536

GI

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AΒ The invention relates to a preparation of (aminopyridinylethyl)imidazolopyridin e derivs. of formula I [wherein: R1 is H or alkyl; R2 is H, halogen, NH2, (cyclo)alkyl, or CF3, etc.; R3 is H, halogen, alkyl, or alkoxyl R4 is alkyl or alkoxy], useful as antiinflammatory agents (inductible NO-synthase inhibitors). For instance, (aminopyridinylethyl)imidazolopyri dine derivative II was prepared via condensation of 4-methyl-2-(tritylamino)picolinaldehyde with [3H-imidazo[4,5-b]pyridin-2ylmethyl]triphenylphosphonium chloride and subsequent reduction of the obtained intermediate. The invention compds. were tested for NO-synthase activity [-logIC50(mol/L) values range from 6.58 to 8.15]. ΙT

857379-53-4P 857379-56-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of (aminopyridinylethyl)imidazolopyridine derivs. useful as inductible NO-synthase inhibitors)

RN 857379-53-4 CAPLUS

CN 2-Pyridinamine, 6-[2-(6-bromo-1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4methyl- (9CI) (CA INDEX NAME)

RN 857379-56-7 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-(6-phenyl-1H-imidazo[4,5-b]pyridin-2yl)ethyl]- (9CI) (CA INDEX NAME)

IT 857379-46-5P 857379-49-8P 857379-50-1P 857379-51-2P 857379-57-8P 857379-58-9P 857379-61-4P 857379-63-6P 857379-65-8P 857379-66-9P 857379-68-1P 857379-69-2P 857379-71-6P 857379-72-7P 857379-73-8P 857379-74-9P 857379-75-0P 857379-76-1P 857379-77-2P 857379-78-3P 857379-79-4P 857379-81-8P 857380-22-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of (aminopyridinylethyl)imidazolopyridine derivs. useful as inductible NO-synthase inhibitors) RN 857379-46-5 CAPLUS 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methyl- (9CI) CN (CA INDEX NAME)

RN 857379-49-8 CAPLUS
CN 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methyl-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

CRN 857379-46-5 CMF C14 H15 N5

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 857379-51-2 CAPLUS

CN 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)propyl]-4-methyl-(9CI) (CA INDEX NAME)

RN 857379-57-8 CAPLUS

CN Benzonitrile, 4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 857379-58-9 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-[6-(4-methylphenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 857379-61-4 CAPLUS

CN 2-Pyridinamine, 6-[2-[6-(4-fluorophenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 857379-63-6 CAPLUS

CN 2-Pyridinamine, 6-[2-[6-[4-(dimethylamino)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 857379-65-8 CAPLUS

CN 2-Pyridinamine, 6-[2-[6-[4-(dimethylamino)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 857379-66-9 CAPLUS

CN 2-Pyridinamine, 6-[2-[6-(4-chlorophenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 857379-68-1 CAPLUS

CN 2-Pyridinamine, 6-[2-[6-(4-chlorophenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 857379-69-2 CAPLUS

CN 2-Pyridinamine, 6-[2-[6-(4-iodophenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 857379-71-6 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-[6-[4-(trifluoromethyl)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 857379-72-7 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-[6-[3-(phenylmethoxy)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 857379-73-8 CAPLUS

CN 2-Pyridinamine, 6-[2-[6-(3,5-dichlorophenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 857379-74-9 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-[6-[4-(phenylmethoxy)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 857379-75-0 CAPLUS

CN Phenol, 3-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)

HO NH
$$CH_2-CH_2$$
 NH_2 NH_2

RN 857379-76-1 CAPLUS

CN Pyrrolidine, 1-[[4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\
 & S \\
 & O \\$$

RN 857379-77-2 CAPLUS

CN Piperidine, 1-[[4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & NH2 \\
N & S \\
O & N \\
N & N
\end{array}$$

$$\begin{array}{c|c}
H & CH_2 - CH_2 \\
N & N
\end{array}$$

$$\begin{array}{c|c}
Me$$

RN 857379-78-3 CAPLUS

CN Benzenesulfonamide, 4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & N \\
NH & CH_2 - CH_2 \\
N & NH_2
\end{array}$$

$$\begin{array}{c|c}
N & NH \\
NH_2
\end{array}$$

RN 857379-79-4 CAPLUS

CN Piperazine, 1-[[4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & \\ N & & & \\ N & & \\$$

RN 857379-81-8 CAPLUS

CN 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methoxy-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 857379-80-7 CMF C14 H15 N5 O

CM 2

CRN 76-05-1 CMF: C2 H F3 O2

RN 857380-22-4 CAPLUS

CN Azetidine, 1-[[4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-methyl-2-pyridinyl)]b]pyridin-6-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

IT 857379-60-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of (aminopyridinylethyl)imidazolopyridine derivs. useful as inductible NO-synthase inhibitors)

RN 857379-60-3 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-[6-(4-methylphenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Me
$$N \rightarrow N \rightarrow N \rightarrow CH_2 \rightarrow CH_2 \rightarrow N \rightarrow NH_2$$

●x HCl

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 06:35:34 ON 21 SEP 2007)

FILE 'REGISTRY' ENTERED AT 06:36:50 ON 21 SEP 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 27 S L1 FULL

FILE 'CAPLUS' ENTERED AT 06:37:19 ON 21 SEP 2007

L42 S L3 FULL

=> log y COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 11.01 183.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -1.56 -1.56

STN INTERNATIONAL LOGOFF AT 06:37:57 ON 21 SEP 2007